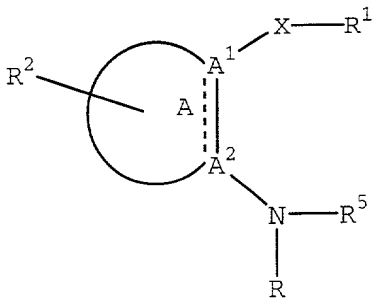
WHAT IS CLAIMED IS:

1. A compound of formula I



I

wherein each of A^1 and A^2 is independently C, CH or N;

wherein ring A is selected from

a) 5- or 6-membered partially saturated heterocyclyl,

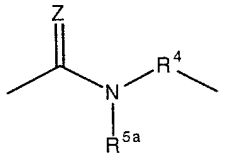
b) 5- or 6-membered heteroaryl,

c) 9-, 10- or 11-membered fused partially saturated heterocyclyl,

d) 9-, 10- or 11-membered fused heteroaryl,

e) aryl, and

f) 4-, 5- or 6-membered cycloalkenyl;

wherein X is  ;

wherein Z is oxygen or sulfur;

wherein R is selected from

a) substituted or unsubstituted 4-6 membered heterocyclyl,

b) substituted aryl, and

c) substituted or unsubstituted fused 9-14-membered bicyclic or tricyclic heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$,

$-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 3-6 membered heterocyclyl, optionally substituted phenyl, nitro, alkylaminoalkoxyalkoxy, cyano, oxox, alkylaminoalkoxy, lower alkyl substituted with R^2, lower alkenyl substituted with R^2, and lower alkynyl substituted with R^2;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 4-6 membered heterocyclyl,

c) substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, $-NR^3C(O)NR^3R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, halosulfonyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R^2, lower alkenyl substituted with R^2, and lower alkynyl substituted with R^2;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, C_{1-6}-alkoxy-C_{1-6}-alkoxy, C_{1-6}-alkoxy-C_{1-}

$_{6}$-alkoxy-C_{1-6}-alkoxy, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 3-6 membered heterocyclyl, optionally substituted C_3-C_6-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 3-6 membered heterocyclylalkyl, optionally substituted C_3-C_6 cycloalkylalkyl, and lower haloalkyl;

wherein R^4 is selected from a direct bond, C_{2-4}-alkylenyl, C_{2-4}-alkenylenyl and C_{2-4}-alkynylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-, wherein R^4 is optionally substituted with hydroxy;

wherein R^5 is selected from H, lower alkyl, optionally substituted phenyl and optionally substituted lower aralkyl;

wherein R^{14} is selected from H, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl and optionally substituted C_3-C_6 cycloalkyl;

and pharmaceutically acceptable derivatives thereof;

provided A is not pyridyl when X is -C(O)NH- and when R^1 is 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl when R^5 is methyl and when R is 4-methylpiperidyl;

further provided A is not pyridyl when X is -C(O)NH-, when R^5 is H, when R^2 is 6-methyl and when R is indazolyl;

further provided A is not phenyl when X is -C(O)NH-, when R^1 is phenyl, 4-bromophenyl, 2-methylphenyl, 4-methoxyphenyl, when R^5 is H and when R is 4-pyridyl;

further provided A is not phenyl when X is -C(O)NH-, when R^1 is phenyl, when R^5 is H and when R is 2-oxobenzopyan-4-yl;

further provided A is not phenyl when X is -C(O)NH-, when R^1 is phenyl, 4-chlorophenyl, 3-nitrophenyl, 4-methoxyphenyl, when R^5 is H and when R is 4-imidazolinyl;

further provided A is not phenyl when X is -C(O)NH-, when R^5 is H, when R^{5a} is substituted benzyl and when R is substituted triazinyl;

further provided A is not phenyl when X is -C(O)NH-, when R^1 is phenyl or 2-chlorophenyl, when R^5 is H and when R is 4-quinazolinyl;

further provided A is not phenyl when X is -C(O)NH-, when R^1 is phenyl, when R^5 is H and when R is isoquinolin-1-yl;

further provided A is not phenyl when X is -C(O)NH-, when R^1 is 2-chlorophenyl or 4-chlorophenyl, when R^5 is H and when R is 3-chloroisoquinolin-1-yl;

further provided A is not phenyl when X is -C(O)NH-, when R^1 is 1-ethylpiperid-3-yl or 1-ethylpiperid-4-yl, when R^5 is H and when R is 8-trifluoromethylquinolin-4-yl;

further provided A is not phenyl when X is -C(O)NH-, when R^1 is 1-ethylpiperid-3-yl, when R^5 is H and when R is 8-chloroquinolin-4-yl;

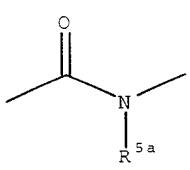
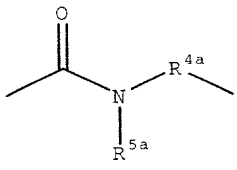
further provided A is not phenyl when X is -C(O)NH-, when R^1 is halo substituted phenyl, 1-butylpiperid-4-yl, 1-ethylpiperid-3-yl or 1-ethylpiperid-4-yl, when R^5 is H and when R is 7-chloroquinolin-4-yl; and

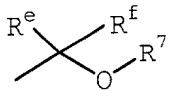
further provided R is not unsubstituted 2-thienyl, unsubstituted 2-pyridyl or unsubstituted 3-pyridyl.

2. Compound of Claim 1, and pharmaceutically acceptable derivatives thereof, wherein A is selected from 5- or 6- membered partially saturated heterocyclyl.

3. Compound of Claim 2, wherein A is selected from dihydropyran, dihydrothienyl, dihydrofuryl, oxo-dihydrofuryl, pyrrolinyl, dihydrothiazolyl, dihydro-oxazolyl, dihydro-isothiazolyl, dihydro-isoxazolyl,

imidazolinyl and pyrazolinyl; wherein X is selected from

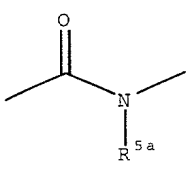
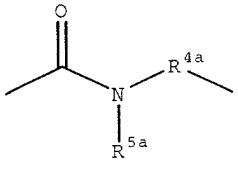

and

;

wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, substituted phenyl and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-4}-alkylamino-C_{1-4}-alkoxy-C_{1-4}-alkoxy, cyano, C_{1-4}-alkylamino-C_{1-4}-alkoxy, C_{1-2}-alkyl substituted with R^2, C_{2-3}-alkenyl substituted with R^2, and C_{2-3}-alkynyl substituted with R^2; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6}-cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, oxo, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^3)$, $-(C_1-C_4$ alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_1-C_6-alkylamino-C_1-C_6-alkoxy, C_1-C_6-alkylamino-C_1-C_6-alkoxy-C_1-C_6-alkoxy, halosulfonyl, optionally substituted 4-6 membered heterocyclylcarbonylalkyl, C_{1-4}-alkoxycarbonylamino-C_{1-6}-alkyl,  , optionally substituted C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-6}-alkylenyl, optionally

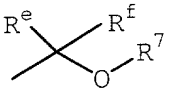
substituted 4-6 membered heterocyclyl-C_1-C_6-alkylenyl, 4-6 membered heterocyclyl-C_2-C_6-alkenylenyl, C_{1-4}-alkyl, cyano, C_{1-4}-hydroxyalkyl, nitro and C_{1-4}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C_{1-6}-alkyl, cyano, C_{1-4}-hydroxyalkyl, C_{1-4}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-4}-haloalkyl; wherein R^3 is independently selected from H, C_{1-4}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-4}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkyl, optionally substituted C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^{4a} is C_{2-4}-alkylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-; wherein R^{4a} is optionally substituted with hydroxy; wherein R^5 is selected from H and C_{1-2}-alkyl; wherein R^{5a} is selected from H and C_{1-2}-alkyl; wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and wherein R^7 is selected from H, C_{1-6}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-6}-alkyl, optionally substituted cycloalkyl, optionally substituted cycloalkyl-C_{1-6}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_6-alkyl, C_{1-4}-alkoxy-C_{1-4}-alkyl and C_{1-4}-alkoxy-C_{1-4}-alkoxy-C_{1-4}-alkyl, and pharmaceutically acceptable derivatives thereof.

4. Compound of Claim 1, and pharmaceutically acceptable derivatives thereof, wherein A is selected from 5- or 6- membered heteroaryl.

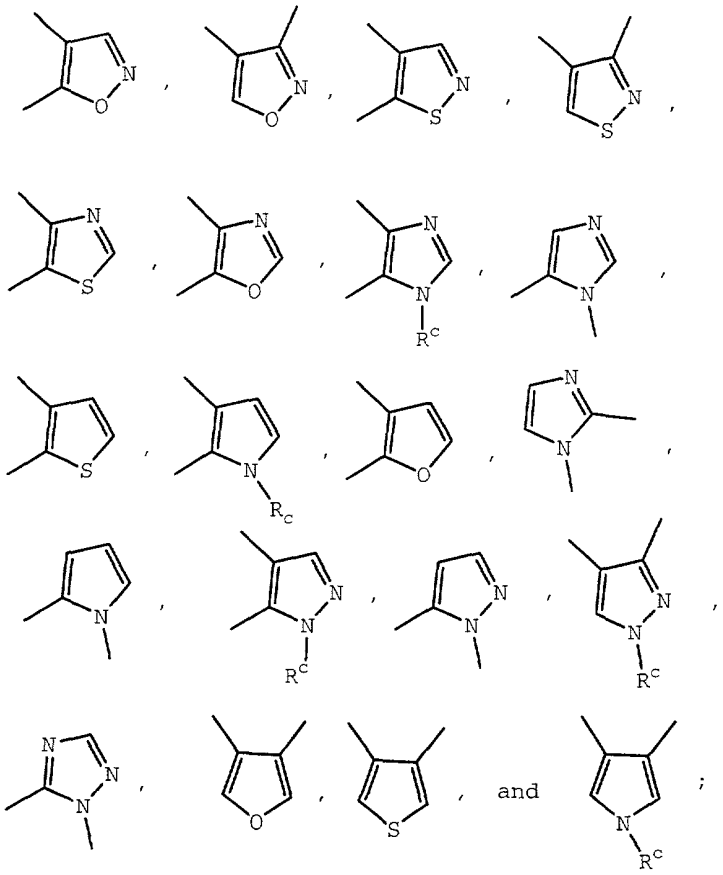
5. Compound of Claim 4, wherein A is selected from pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, triazinyl, thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl and isothiazolyl; wherein X is selected from


and

;

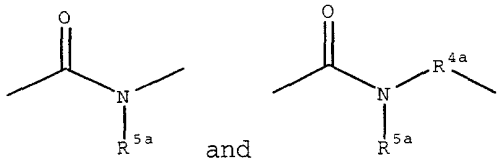
wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, substituted phenyl and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-4}-alkylamino-C_{1-4}-alkoxy-C_{1-4}-alkoxy, cyano, C_{1-4}-alkylamino-C_{1-4}-alkoxy, C_{1-2}-alkyl substituted with R^2, C_{2-3}-alkenyl substituted with R^2, and C_{2-3}-alkynyl substituted with R^2; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6}-cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, oxo, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^3)$, $-(C_1-C_4$ alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_1-C_6-alkylamino-C_1-C_6-alkoxy, C_1-C_6-alkylamino-C_1-C_6-alkoxy-C_1-C_6-alkoxy, halosulfonyl, optionally substituted 4-6 membered heterocyclylcarbonylalkyl, C_{1-4}-

alkoxycarbonylamino-C_{1-6}-alkyl,  , optionally substituted C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-6}-alkylenyl, optionally substituted 4-6 membered heterocyclyl-$C_{1-}C_6$-alkylenyl, 4-6 membered heterocyclyl-$C_{2-}C_6$-alkenylenyl, C_{1-6}-alkyl, cyano, C_{1-4}-hydroxyalkyl, nitro and C_{1-4}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C_{1-6}-alkyl, cyano, C_{1-4}-hydroxyalkyl, C_{1-4}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-4}-haloalkyl; wherein R^3 is independently selected from H, C_{1-4}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-4}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkyl, optionally substituted C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^{4a} is C_{2-4}-alkylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-; wherein R^{4a} is optionally substituted with hydroxy; wherein R^5 is selected from H and C_{1-2}-alkyl; wherein R^{5a} is selected from H and C_{1-2}-alkyl; wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and wherein R^7 is selected from H, C_{1-6}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-6}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-$C_{1-}C_6$-alkyl, C_{1-4}-alkoxy-C_{1-4}-alkyl and C_{1-4}-alkoxy-C_{1-4}-alkoxy-C_{1-4}-alkyl, and pharmaceutically acceptable derivatives thereof.

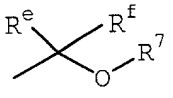
6. Compound of Claim 1 wherein A is selected from



wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is selected from

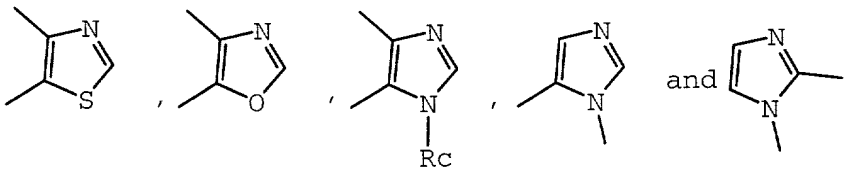


; wherein R is selected from substituted or unsubstituted pyrazolyl, triazolyl, pyridyl, pyrimidinyl, and pyridazinyl, substituted phenyl, indazolyl, indolyl, isoindolyl, quinolinyl, isoquinolinyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, naphthyridinyl and quinazolinyl; wherein substituted R is substituted with one or more substituents independently selected from halo, hydroxy, C_{1-4}-alkyl, C_{1-2}-alkoxy, optionally substituted 4-6 membered heterocyclyl-C_{1-2}-alkoxy, amino, C_{1-2}-alkylamino, aminosulfonyl, $-NR^3C(O)OR^3$,

$-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-2}-alkylamino-C_{1-2}-alkoxy-C_{1-2}-alkoxy, cyano, C_{1-2}-alkylamino-C_{1-2}-alkoxy, C_{1-2}-alkylamino-C_{1-2}-alkyl, C_{1-2}-alkylamino-C_{2-3}-alkynyl, C_{1-2}-hydroxyalkyl, C_{1-2}-aminoalkyl, C_{1-2}-haloalkyl, optionally substituted 4-6 membered heterocyclyl-C_{2-3}-alkenyl, and optionally substituted 4-6 membered heterocyclyl-C_{2-3}-alkynyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6}-cycloalkyl, and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, oxo, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy; wherein R^2 is one

or more substituents independently selected from H, halo, hydroxy, C_{1-2}-alkoxy, C_{1-2}-haloalkoxy, amino, C_{1-2}-alkylamino, optionally substituted 4-6 membered heterocyclyl-C_{1-2}-alkylamino, aminosulfonyl, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C_{1-4}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is independently selected from H, C_{1-4}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-4}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkyl, optionally substituted C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^{4a} is C_{2-3}-alkylenyl where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-, wherein R^{4a} is optionally substituted with hydroxy; wherein R^5 is selected from H and C_{1-2}-alkyl; wherein R^{5a} is selected from H and C_{1-2}-alkyl; wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl, and pharmaceutically acceptable derivatives thereof.

7. Compound of Claim 6 wherein A is selected from



;

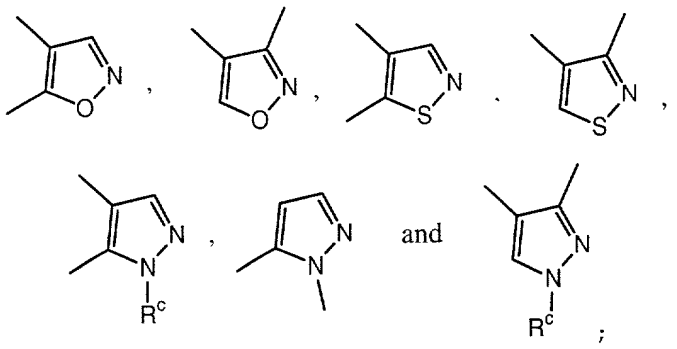
wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is -C(O)-NH-; wherein R is selected from substituted or unsubstituted 4-pyridyl, 3-

pyridyl, 2-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, optionally substituted (heterocyclyl-substituted phenyl), 5-indazolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, indolyl, isoindolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, quinozalinyl, 4-isoquinolyl, 5-isoquinolyl, naphthyridinyl and 6-isoquinolyl; wherein substituted R is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, amino, dimethylamino, diethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, cyclohexyl, dimethylaminopropynyl, dimethylaminoethoxy, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, nitro and trifluoromethyl; wherein R^1 is selected from substituted or unsubstituted phenyl, indanyl, tetrahydronaphthyl, naphthyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R^1 is substituted with one or more substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl,

piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo,

hydroxy, methoxy, ethoxy, trifluoromethoxy, oxo, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, nitro, propenyl, propynyl and trifluoromethyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable derivatives thereof.

8. Compound of Claim 6 wherein A is selected from

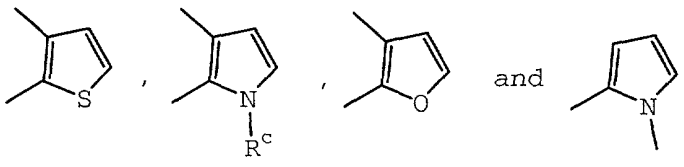


wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is -C(O)-NH-; wherein R is selected from substituted or unsubstituted 4-pyridyl, 3-pyridyl, 2-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, optionally substituted (heterocyclyl-substituted phenyl), 5-indazolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, indolyl, isoindolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, quinozalinyl, 4-isoquinolyl, 5-isoquinolyl, naphthyridinyl and 6-isoquinolyl; wherein substituted R is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, amino, dimethylamino, diethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, cyclohexyl, dimethylaminopropynyl, dimethylaminoethoxy, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, optionally substituted piperidinyl, morpholinyl, optionally

substituted piperazinyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, nitro and trifluoromethyl; wherein R^1 is selected from substituted or unsubstituted phenyl, indanyl, tetrahydronaphthyl, naphthyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R^1 is substituted with one or more substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,

aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, trifluoromethoxy, oxo, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, nitro, propenyl, propynyl and trifluoromethyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable derivatives thereof.

9. Compound of Claim 6 wherein A is selected from



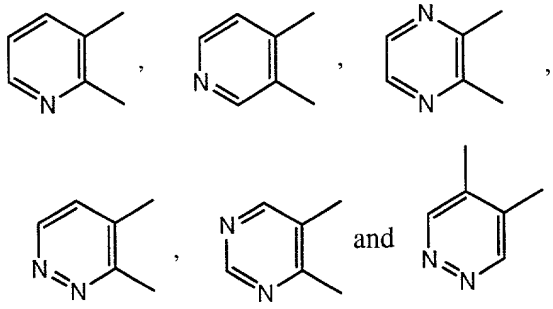
;

wherein R^c is selected from H, methyl and optionally substituted phenyl; wherein X is -C(O)-NH-; wherein R is selected from substituted or unsubstituted 4-pyridyl, 3-pyridyl, 2-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, optionally substituted (heterocyclyl-substituted phenyl), 5-indazolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, indolyl, isoindolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, quinozalinyl, 4-isoquinolyl, 5-isoquinolyl, naphthyridinyl and 6-isoquinolyl; wherein substituted R is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, amino, dimethylamino, diethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, cyclohexyl, dimethylaminopropynyl, dimethylaminoethoxy, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, nitro and trifluoromethyl; wherein R^1 is selected from substituted or unsubstituted phenyl, indanyl, tetrahydronaphthyl, naphthyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R^1 is substituted with one or more substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino,

cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-

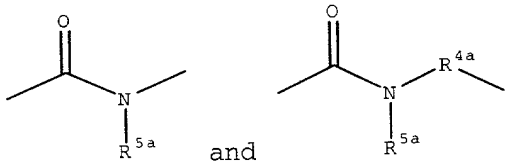
2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and wherein R^2 is one or more substituents independently selected from H, chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, trifluoromethoxy, oxo, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, nitro, propenyl, propynyl and trifluoromethyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable derivatives thereof.

10. Compound of Claim 1, wherein A is selected from



;

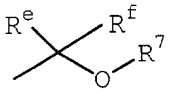
wherein X is selected from



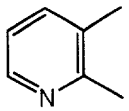
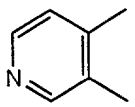
;

wherein R is selected from substituted or unsubstituted pyrazolyl, triazolyl, pyridyl, pyrimidinyl, and pyridazinyl, substituted phenyl, indazolyl, indolyl, isoindolyl, quinolinyl, isoquinolinyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, naphthyridinyl and quinazolinyl; wherein substituted R is substituted with one or more substituents independently selected from halo, hydroxy, C_{1-4}-alkyl, C_{1-2}-alkoxy,

optionally substituted 4-6 membered heterocyclyl-C_{1-2}-alkoxy, amino, C_{1-2}-alkylamino, aminosulfonyl, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-2}-alkylamino-C_{1-2}-alkoxy-C_{1-2}-alkoxy, cyano, C_{1-2}-alkylamino-C_{1-2}-alkoxy, C_{1-2}-alkylamino-C_{1-2}-alkyl, C_{1-2}-alkylamino-C_{2-3}-alkynyl, C_{1-2}-hydroxyalkyl, C_{1-2}-aminoalkyl, C_{1-2}-haloalkyl, optionally substituted 4-6 membered heterocyclyl-C_{2-3}-alkenyl, and optionally substituted 4-6 membered heterocyclyl-C_{2-3}-alkynyl; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6}-cycloalkyl, and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-



alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl, and C_{1-4}-alkoxy; wherein R^2 is one or more substituents independently selected from H, halo, hydroxy, C_{1-2}-alkoxy, C_{1-2}-haloalkoxy, amino, C_{1-2}-alkylamino, optionally substituted 4-6 membered heterocyclyl-C_{1-2}-alkylamino, aminosulfonyl, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C_{1-4}-alkyl, cyano, C_{1-2}-hydroxyalkyl, C_{1-3}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-2}-haloalkyl; wherein R^3 is independently selected from H, C_{1-4}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-4}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkyl, optionally substituted C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^{4a} is C_{2-3}-alkylenyl where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-, wherein R^{4a} is optionally substituted with hydroxy; wherein R^5 is selected from H and C_{1-2}-alkyl; wherein R^{5a} is selected from H and C_{1-2}-alkyl; wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl, and pharmaceutically acceptable derivatives thereof.

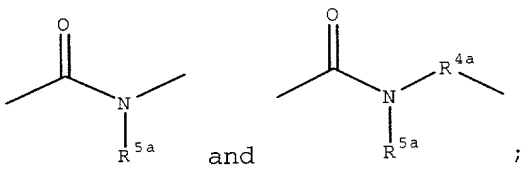
11. Compound of Claim 10, wherein A is  , or  ; wherein X is -C(O)-NH-; wherein R is selected

from substituted or unsubstituted 4-pyridyl, 3-pyridyl, 2-pyridyl, triazolyl, 4-pyrimidinyl, 4-pyridazinyl, optionally substituted (heterocyclyl-substituted phenyl), 5-indazolyl, 4-quinolyl, 5-quinolyl, 6-quinolyl, indolyl, isoindolyl, benzotriazolyl, 2,3-dihydrobenzofuryl, 2-oxo-1,2-dihydroquinol-7-yl, quinozalinyl, 4-isoquinolyl, 5-isoquinolyl, naphthyridinyl and 6-isoquinolyl; wherein substituted R is substituted with one or more substituents independently selected from chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, amino, dimethylamino, diethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, cyclohexyl, dimethylaminopropynyl, dimethylaminoethoxy, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, nitro and trifluoromethyl; wherein R^1 is selected from substituted or unsubstituted phenyl, indanyl, tetrahydronaphthyl, naphthyl, indazolyl, indolyl, 2,1,3-benzothiadiazolyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 2-oxo-1,2-dihydroquinol-7-yl, 1,2,3,4-tetrahydro-isoquinolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl, benzthiazolyl, isoquinolyl, quinolyl, tetrahydroquinolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, benzodioxanyl and quinazolinyl; wherein substituted R^1 is substituted with one or more substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-

4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; and wherein R^2 is one or more substituents

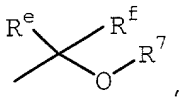
independently selected from H, chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, trifluoromethoxy, oxo, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, nitro, propenyl, propynyl, trifluoromethyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and pharmaceutically acceptable derivatives thereof.

12. Compound of Claim 1 wherein A is 9- or 10-membered fused partially saturated heterocyclyl or 9- or 10-membered fused heteroaryl; wherein X is selected from



and ;

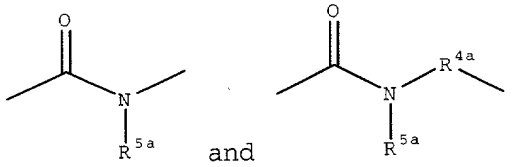
wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, substituted phenyl and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-4}-alkylamino-C_{1-4}-alkoxy-C_{1-4}-alkoxy, cyano, C_{1-4}-alkylamino-C_{1-4}-alkoxy, C_{1-2}-alkyl substituted with R^2, C_{2-3}-alkenyl substituted with R^2, and C_{2-3}-alkynyl substituted with R^2; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6}-cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R^1

is substituted with one or more substituents independently selected from halo, $-OR^3$, oxo, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^3)$, $-(C_1-C_4$ alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_1-C_6-alkylamino-C_1-C_6-alkoxy, C_1-C_6-alkylamino-C_1-C_6-alkoxy-C_1-C_6-alkoxy, halosulfonyl, optionally substituted 4-6 membered heterocyclylcarbonylalkyl, C_{1-4}-alkoxycarbonylamino-C_{1-6}-alkyl,  , optionally substituted C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-6}-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_6-alkylenyl, 4-6 membered heterocyclyl-C_2-C_6-alkenylenyl, C_{1-6}-alkyl, cyano, C_{1-4}-hydroxyalkyl, nitro and C_{1-4}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C_{1-6}-alkyl, cyano, C_{1-4}-hydroxyalkyl, C_{1-4}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-4}-haloalkyl; wherein R^3 is independently selected from H, C_{1-4}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-4}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkyl, optionally substituted C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^{4a} is C_{2-4}-alkylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-; wherein R^{4a} is optionally substituted with hydroxy; wherein R^5 is selected from H and C_{1-2}-alkyl; wherein R^{5a} is selected from H and C_{1-2}-alkyl; wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and wherein R^7 is selected from H, C_{1-6}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-6}-alkyl, optionally substituted 4-6 membered

heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_6-alkyl, C_{1-4}-alkoxy-C_{1-4}-alkyl and C_{1-4}-alkoxy-C_{1-4}-alkoxy-C_{1-4}-alkyl, and pharmaceutically acceptable derivatives thereof.

13. Compound of Claim 12 wherein A is selected from benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, naphthpyridinyl, tetrahydroquinolyl, quinoxalinyl and quinazolinyl;
and pharmaceutically acceptable salts thereof.

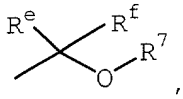
14. Compound of Claim 1, wherein A is 5- or 6-membered cycloalkenyl; wherein X is selected from



and

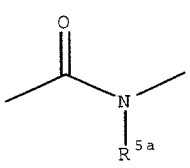
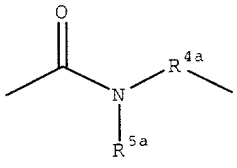
;

wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, substituted phenyl and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-4}-alkylamino-C_{1-4}-alkoxy-C_{1-4}-alkoxy, cyano, C_{1-4}-alkylamino-C_{1-4}-alkoxy, C_{1-2}-alkyl substituted with R^2, C_{2-3}-alkenyl substituted with R^2, and C_{2-3}-alkynyl substituted with R^2; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6}-

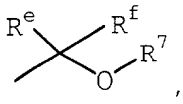
cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, oxo, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^3)$, $-(C_1-C_4$ alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_1-C_6-alkylamino-C_1-C_6-alkoxy, C_1-C_6-alkylamino-C_1-C_6-alkoxy-C_1-C_6-alkoxy, halosulfonyl, optionally substituted 4-6 membered heterocyclylcarbonylalkyl, C_{1-4}-alkoxycarbonylamino-C_{1-6}-alkyl,  , optionally substituted C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-6}-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_6-alkylenyl, 4-6 membered heterocyclyl-C_2-C_6-alkenylenyl, C_{1-4}-alkyl, cyano, C_{1-4}-hydroxyalkyl, nitro and C_{1-4}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C_{1-6}-alkyl, cyano, C_{1-4}-hydroxyalkyl, C_{1-4}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-4}-haloalkyl; wherein R^3 is independently selected from H, C_{1-4}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-4}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkyl, optionally substituted C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^{4a} is C_{2-4}-alkylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-; wherein R^{4a} is optionally substituted with hydroxy; wherein R^5 is selected from H and C_{1-2}-alkyl; wherein R^{5a} is selected from H and C_{1-2}-alkyl; wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and wherein R^7 is selected from H, C_{1-6}-alkyl, optionally substituted

phenyl, optionally substituted phenyl-C_{1-6}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_6-alkyl, C_{1-4}-alkoxy-C_{1-4}-alkyl and C_{1-4}-alkoxy-C_{1-4}-alkoxy-C_{1-4}-alkyl, and pharmaceutically acceptable derivatives thereof.

15. Compound of Claim 1, wherein A is phenyl; wherein X is selected from


and

;

wherein R is selected from substituted or unsubstituted 5-6 membered heteroaryl comprising one or more nitrogen atoms, substituted phenyl and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, C_{1-4}-alkylamino-C_{1-4}-alkoxy-C_{1-4}-alkoxy, cyano, C_{1-4}-alkylamino-C_{1-4}-alkoxy, C_{1-2}-alkyl substituted with R^2, C_{2-3}-alkenyl substituted with R^2, and C_{2-3}-alkynyl substituted with R^2; wherein R^1 is selected from substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, C_{3-6}-cycloalkyl, and substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl; wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, oxo, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^3)$, $-(C_1-C_4$ alkylenyl$)NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_1-C_6-alkylamino-C_1-C_6-

alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, halosulfonyl, optionally substituted 4-6 membered heterocyclylcarbonylalkyl, C_{1-4}-alkoxycarbonylamino-C_{1-6}-alkyl,  , optionally substituted C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-6}-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_{1-6}-alkylenyl, 4-6 membered heterocyclyl-C_{2-6}-alkenylenyl, C_{1-6}-alkyl, cyano, C_{1-4}-hydroxyalkyl, nitro and C_{1-4}-haloalkyl; wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, C_{3-6}-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, C_{1-6}-alkyl, cyano, C_{1-4}-hydroxyalkyl, C_{1-4}-carboxyalkyl, nitro, C_{2-3}-alkenyl, C_{2-3}-alkynyl and C_{1-4}-haloalkyl; wherein R^3 is independently selected from H, C_{1-4}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-4}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkyl, optionally substituted C_3-C_6 cycloalkyl and C_{1-2}-haloalkyl; wherein R^{4a} is C_{2-4}-alkylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-; wherein R^{4a} is optionally substituted with hydroxy; wherein R^5 is selected from H and C_{1-2}-alkyl; wherein R^{5a} is selected from H and C_{1-2}-alkyl; wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and wherein R^7 is selected from H, C_{1-6}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-6}-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-6}-alkyl, C_{1-4}-alkoxy-C_{1-4}-alkyl and C_{1-4}-alkoxy-C_{1-4}-alkoxy-C_{1-4}-alkyl, and pharmaceutically acceptable derivatives thereof.

16. Compound of Claim 1 and pharmaceutically acceptable salts thereof selected from

N-(4-Chlorophenyl)[2-(6-quinolylamino)(3-pyridyl)]carboxamide;

N-(4-Chlorophenyl)[2-(5-isoquinolylamino)(3-pyridyl)]carboxamide;

N-(4-Chlorophenyl)[2-(1H-indazol-5-ylamino)(3-pyridyl)]carboxamide;

N-(4-Chlorophenyl)[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

2-(1H-Indazol-6-ylamino)-N-(4-isopropyl-phenyl)nicotinamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[3-(methylethyl)phenyl]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[4-(methylpropyl)phenyl]carboxamide;

N-[4-(tert-Butyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[3-(trifluoromethyl)phenyl]carboxamide;

N-[3-(tert-Butyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[2-(Benzotriazol-6-ylamino)(3-pyridyl)]-N-[4-(tert-butyl)phenyl]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-(3-phenylpyrazol-5-yl)carboxamide;

N-(4-Chloro-3-sulfamoylphenyl)[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-(4-methyl-2-oxo-1,2-dihydroquinol-7-yl)carboxamide;

N-[4-(Methylethyl)phenyl]{2-[(4-methyl-2-oxo(7-hydroquinolyl))amino](3-pyridyl)}carboxamide;

N-[5-(tert-Butyl)isoxazol-3-yl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-[5-(tert-Butyl)-1-methylpyrazol-3-yl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-[4-(tert-Butyl)(1,3-thiazol-2-yl)][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-[5-(tert-Butyl)(1,3,4-thiadiazol-2-yl)][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[4-(1,1,2,2,3,3,4,4,4-nonafluorobutyl)phenyl]carboxamide;

{2-[(1-Methyl(1H-indazol-6-yl))amino](3-pyridyl)}-N-[4-(methylethyl)phenyl]carboxamide;

N-[4-(tert-Butyl)phenyl]{2-[(7-bromo(1H-indazol-6-yl))amino](3-pyridyl)}carboxamide;

2-(1*H*-Indazol-6-ylamino)-*N*-[4-*tert*-butyl-3-(1,2,3,6-tetrahydropyridin-4-yl)phenyl]nicotinamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}carboxamide;

N-[5-(tert-Butyl)-2-methoxyphenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{6-[4-(trifluoromethyl)piperidyl](3-pyridyl)}carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-(1-oxo(7-2,3,4-trihydroisoquinolyl))carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[4-(methylethoxy)phenyl]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}carboxamide;

N-(4-{(1S)-1-[(Methylethyl)amino]ethyl}phenyl)[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-[4-(tert-Butyl)-3-(4-methylpiperazinyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[3-(4-methylpiperazinyl)phenyl]carboxamide;

N-[4-(tert-Butyl)-2-(4-methylpiperazinyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-{2-[2-(Dimethylamino)ethoxy]-5-(tert-butyl)phenyl}[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-{3-[2-(Dimethylamino)ethoxy]phenyl}[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-(3-Hydroxy-4-methoxyphenyl)[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-{3-[2-(Dimethylamino)ethoxy]-4-methoxyphenyl}[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[4-methoxy-3-(1-methyl(4-piperidyl)oxy)phenyl]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-(5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolin-2-yl)carboxamide;

[2-({3-[2-(Dimethylamino)ethoxy](1H-indazol-6-yl)}amino)(3-pyridyl)]-N-[4-(tert-butyl)phenyl]carboxamide;

N-[3,3-Dimethyl-1-(4-piperidylmethyl)indolin-6-yl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-(4-phenoxy-phenyl)-nicotinamide;

[2-(1H-Indazol-5-ylamino)(3-pyridyl)]-N-(4-phenoxyphenyl)carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-(4-phenylphenyl)carboxamide;

[2-(1H-indazol-6-ylamino)(3-pyridyl)]-N-[4-(methylsulfonyl)phenyl]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[1-(1-methyl(4-piperidyl))indolin-6-yl]carboxamide;

N-[3,3-Dimethyl-1-(1-methyl(4-piperidyl))indolin-6-yl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[3-(1-methyl(4-piperidyl))indol-5-yl]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[4-(trifluoromethyl)phenyl]carboxamide;

N-{3-[3-(Dimethylamino)propyl]-5-(trifluoromethyl)phenyl}[2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[5-(1-methyl(4-1,2,5,6-tetrahydropyridyl))-3-(trifluoromethyl)phenyl]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[4-(1-methyl(4-piperidyl))phenyl]carboxamide;

N-[4-(tert-Butyl)-3-(3-piperidylpropyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-[3-((1E)-4-Pyrrolidinylbut-1-enyl)-4-(tert-butyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-[4-(tert-Butyl)-3-(3-pyrrolidinylpropyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

N-[4-(tert-Butyl)-3-(3-morpholin-4-ylpropyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{3-[3-(4-methylpiperazinyl)-3-oxopropyl]phenyl}carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{4-[3-(4-methylpiperazinyl)-3-oxopropyl]phenyl}carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{3-[3-(4-methylpiperazinyl)propyl]phenyl}carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{4-[3-(4-methylpiperazinyl)propyl]phenyl}carboxamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-[1-(2-morpholin-4-ylethyl)indol-6-yl]carboxamide;

N-[4-(1,1-Dimethyl-3-morpholin-4-ylpropyl)phenyl][2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

2-(1H-Indazol-6-ylamino)-N-(4-{2,2,2-trifluoro-1-[2-(2-methoxy-ethoxy)-ethoxy]-1-trifluoromethyl-ethyl}-phenyl)-nicotinamide;

[2-(1H-Indazol-6-ylamino)(3-pyridyl)]-N-{4-[2,2,2-trifluoro-1-(2-piperidylethoxy)-1-(trifluoromethyl)ethyl]phenyl}carboxamide;

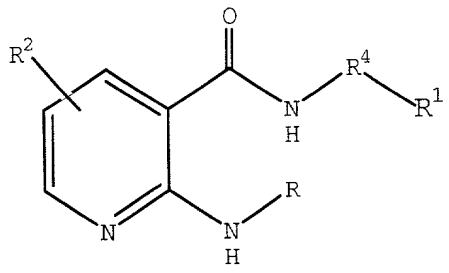
N-[4-(*tert*-Butyl)phenyl][6-fluoro-2-(1H-indazol-6-ylamino)(3-pyridyl)]carboxamide;

[6-Fluoro-2-(1H-indazol-6-ylamino)(3-pyridyl)]-N-[4-(methylethyl)phenyl]carboxamide;

[6-Fluoro-2-(1H-indazol-6-ylamino)(3-pyridyl)]-N-[3-(trifluoromethyl)phenyl]carboxamide; and

{2-[(1-(2-Pyridyl)pyrrolidin-3-yl)amino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide.

17. A compound of Claim 1 having Formula II



II

wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

wherein R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

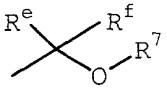
wherein R^1 is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

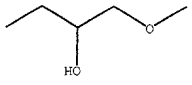
C_{1-6}-alkyl,

C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,

C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
4-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



; and

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

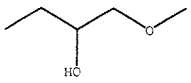
and pharmaceutically acceptable derivatives thereof.

18. Compound of Claim 17 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl,

thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-

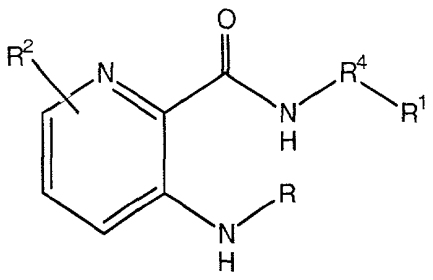
butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl; and wherein R^4 is selected from a direct bond, ethyl, butyl, and



; and pharmaceutically acceptable derivatives thereof.

19. A compound of Claim 1 having Formula III



III

wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

wherein R^1 is selected from unsubstituted or substituted

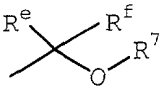
aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

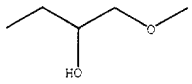
wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl,

optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,
halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
4-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



; and

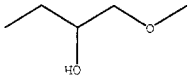
wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl; and pharmaceutically acceptable derivatives thereof.

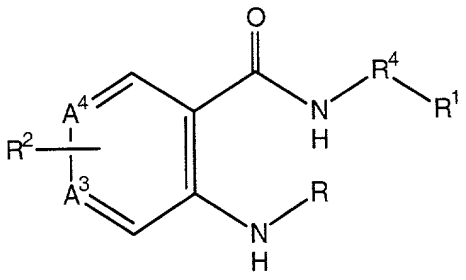
20. Compound of Claim 19 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, dihydro-benzimidazolyl, benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-

4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro,

bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl; and

wherein R^4 is selected from a direct bond, ethyl, butyl, and  ; and pharmaceutically acceptable derivatives thereof.

21. A compound of Claim 1 having Formula IV



IV

wherein A^3 is selected from CR^2 and N;

wherein A^4 is selected from CR^2 and N; provided one of A^3 and A^4 is not CR^2;

wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-

alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

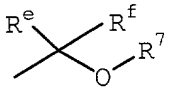
wherein R^1 is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

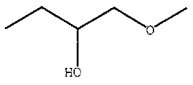
wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



; and

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl; and

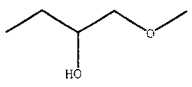
wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl; and pharmaceutically acceptable derivatives thereof.

22. Compound of Claim 21 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one

or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,

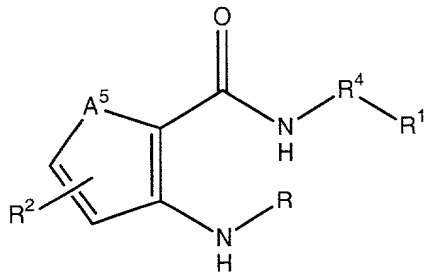
aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl; and

wherein R^4 is selected from a direct bond, ethyl, butyl, and 

; and pharmaceutically acceptable derivatives thereof.

23. A compound of Claim 1 having the formula V



V

wherein A^5 is selected from S, O and NR^6;

wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

wherein R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

wherein R^1 is selected from unsubstituted or substituted

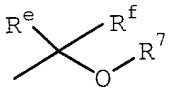
aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6

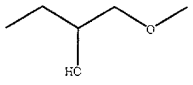
membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,
halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and

unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



; and

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl;

wherein R^6 is H or C_{1-6}-alkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

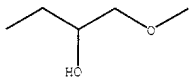
and pharmaceutically acceptable derivatives thereof.

24. Compound of Claim 23 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino,

cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-

2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

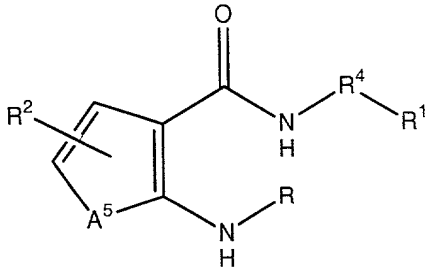


; and

wherein R^6 is H or methyl;

and pharmaceutically acceptable derivatives thereof.

25. A compound of Claim 1 having the formula



VI

wherein A^5 is selected from S, O and NR^6;

wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-

alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

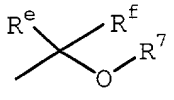
wherein R^1 is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

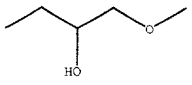
wherein R^2 is one or more substituents independently selected from

H,

halo,

hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



; and

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl;

wherein R^6 is H or C_{1-6}-alkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

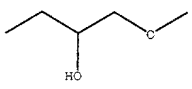
and pharmaceutically acceptable derivatives thereof.

26. Compound of Claim 25 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one

or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,

aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

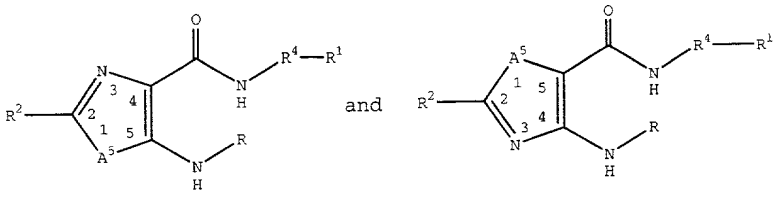


; and

wherein R^6 is H or methyl;

and pharmaceutically acceptable derivatives thereof.

27. A compound of Claim 1 having the formula



VIIa and VIIb

wherein A^5 is selected from S, O and NR^6;

wherein R is selected from unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

wherein R^1 is selected from unsubstituted or substituted

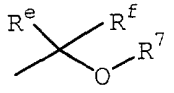
aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6

membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,

hydroxy,

amino,

C_{1-6}-alkyl,

C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,

C_{1-2}-alkylamino,

aminosulfonyl,

C_{3-6}-cycloalkyl,

cyano,

C_{1-2}-hydroxyalkyl,

nitro,

C_{2-3}-alkenyl,

C_{2-3}-alkynyl,

C_{1-6}-haloalkoxy,

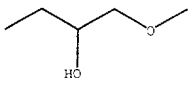
C_{1-6}-carboxyalkyl,

5-6-membered heterocyclyl-C_{1-6}-alkylamino,

unsubstituted or substituted phenyl and

unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



; and

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl;

wherein R^6 is H or C_{1-6}-alkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

and pharmaceutically acceptable derivatives thereof.

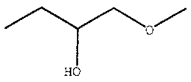
28. Compound of Claim 27 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino,

cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-

2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

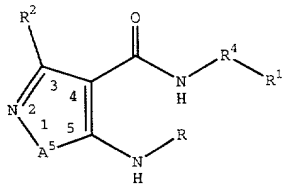


; and

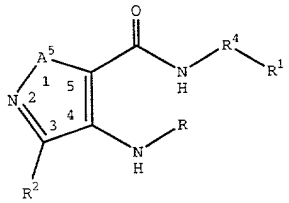
wherein R^6 is H or methyl;

and pharmaceutically acceptable derivatives thereof.

29. Compound of Claim 1 of the formulas



and



VIIIa VIIIb

wherein A^5 is selected from S, O and NR^6;

wherein R is selected from

unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-

alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

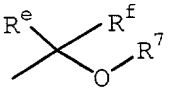
wherein R^1 is selected from unsubstituted or substituted

aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

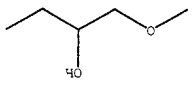
wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,

halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



; and

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl;

wherein R^6 is H or C_{1-6}-alkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

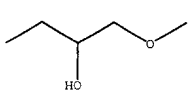
and pharmaceutically acceptable derivatives thereof.

30. Compound of Claim 29 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,

methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

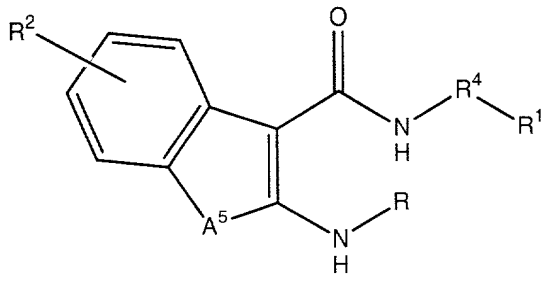


; and

wherein R^6 is H or methyl;

and pharmaceutically acceptable derivatives thereof.

31. Compound of Claim 1 of the formula



IX

wherein A^5 is selected from S, O and NR^6;

wherein R is selected from

unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

wherein R^1 is selected from unsubstituted or substituted

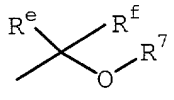
aryl,

cycloalkyl,

5-6 membered heteroaryl and

9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-

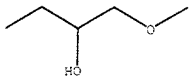
alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from

H,
halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,
C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,

C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and



; and

wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl;

wherein R^6 is H or C_{1-6}-alkyl; and

wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl;

and pharmaceutically acceptable derivatives thereof.

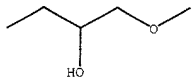
32. Compound of Claim 31 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl, indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl,

dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, methylpiperazinylmethyl, methylpiperazinylpropyl, morpholinylpropyl, methylpiperidinylmethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylethyl, piperidinylmethyl, piperidinylpropyl, pyrrolidinylpropyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, methylpiperazinyl, methylpiperidyl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or

substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and

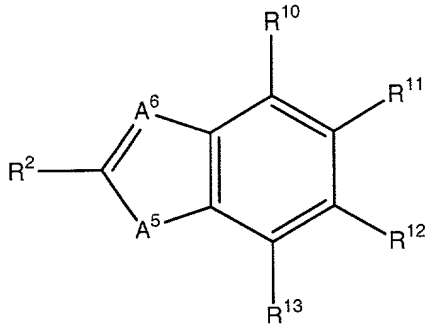


; and

wherein R^6 is H or methyl;

and pharmaceutically acceptable derivatives thereof.

33. Compound of Claim 1 of the formula



x

wherein A^5 is selected from S, O and NR^6;

wherein A^6 is selected from CR^2 and N;

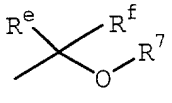
wherein R is selected from

unsubstituted or substituted 9- or 10-membered fused nitrogen-containing heteroaryl,

where R is substituted with one or more substituents selected from halo, amino, hydroxy, C_{1-6}-alkyl, C_{1-6}-haloalkyl, C_{1-6}-alkoxy, optionally substituted heterocyclylalkoxy, C_{1-6}-alkylamino-C_{2-4}-alkynyl, C_{1-6}-alkylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkoxy-C_{1-6}-alkoxy, and optionally substituted heterocyclyl-C_{2-4}-alkynyl;

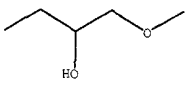
wherein R^1 is selected from unsubstituted or substituted aryl,

cycloalkyl,
5-6 membered heteroaryl and
9-10 membered bicyclic and 13-14 membered tricyclic heterocyclyl,

wherein substituted R^1 is substituted with one or more substituents selected from halo, C_{1-6}-alkyl, optionally substituted C_{3-6}-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_1-C_4-alkylenyl, C_{1-2}-haloalkoxy, optionally substituted phenyloxy, optionally substituted 4-6 membered heterocyclyl-C_1-C_4-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C_2-C_4-alkenylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyloxy, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkoxy, optionally substituted 4-6 membered heterocyclylsulfonyl, optionally substituted 4-6 membered heterocyclylamino, optionally substituted 4-6 membered heterocyclylcarbonyl, optionally substituted 4-6 membered heterocyclyl-C_{1-4}-alkylcarbonyl, C_{1-2}-haloalkyl, C_{1-4}-aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C_{1-2}-alkylsulfonyl, halosulfonyl, C_{1-4}-alkylcarbonyl, C_{1-3}-alkylamino-C_{1-3}-alkyl, C_{1-3}-alkylamino-C_{1-3}-alkoxy, C_{1-3}-alkylamino-C_{1-3}-alkoxy-C_{1-3}-alkoxy, C_{1-4}-alkoxycarbonyl, C_{1-4}-alkoxycarbonylamino-C_{1-4}-alkyl, C_{1-4}-hydroxyalkyl,  and C_{1-4}-alkoxy;

wherein R^2 is one or more substituents independently selected from
H,
halo,
hydroxy,
amino,
C_{1-6}-alkyl,
C_{1-6}-haloalkyl,

C_{1-6}-alkoxy,
C_{1-2}-alkylamino,
aminosulfonyl,
C_{3-6}-cycloalkyl,
cyano,
C_{1-2}-hydroxyalkyl,
nitro,
C_{2-3}-alkenyl,
C_{2-3}-alkynyl,
C_{1-6}-haloalkoxy,
C_{1-6}-carboxyalkyl,
5-6-membered heterocyclyl-C_{1-6}-alkylamino,
unsubstituted or substituted phenyl and
unsubstituted or substituted 4-6 membered heterocyclyl;

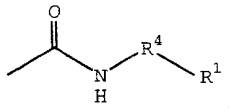
wherein R^4 is selected from a direct bond, C_{1-4}-alkyl, and  ; and

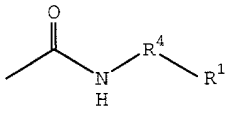
wherein R^e and R^f are independently selected from H and C_{1-2}-haloalkyl;

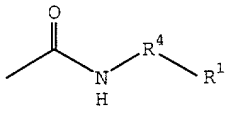
wherein R^6 is H or C_{1-6}-alkyl;

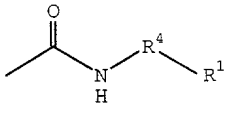
wherein R^7 is selected from H, C_{1-3}-alkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-3}-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_1-C_3-alkyl, C_{1-3}-alkoxy-C_{1-2}-alkyl and C_{1-3}-alkoxy-C_{1-3}-alkoxy-C_{1-3}-alkyl; and

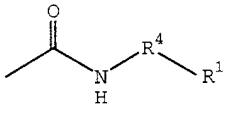
wherein

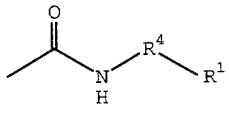
a) R^{10} is  , R^{11} is -NHR, R^{12} is H, and R^{13} is H; or

b) R^{10} is -NHR, R^{11} is  , R^{12} is H, and R^{13} is H; or

c) R^{10} is H, R^{11} is -NHR, R^{12} is  , and R^{13} is H; or

d) R^{10} is H, R^{11} is  , R^{12} is -NHR, and R^{13} is H; or

e) R^{10} is H, R^{11} is H, R^{12} is  , and R^{13} is -NHR; or

f) R^{10} is H, R^{11} is H, R^{12} is -NHR, and R^{13} is  ;

and pharmaceutically acceptable derivatives thereof.

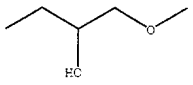
34. Compound of Claim 33 wherein R is selected from indazolyl, where R is unsubstituted or substituted with one or more substituents selected from chloro, fluoro, amino, hydroxy, methyl, ethyl, propyl, trifluoromethyl, dimethylaminopropynyl, 1-methylpiperdinylmethoxy, dimethylaminoethoxyethoxy, methoxy and ethoxy; wherein R^1 is selected from phenyl, tetrahydronaphthyl, indanyl, indenyl, naphthyl, cyclohexyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, benzo[d]isothiazolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, tetrahydroquinolinyl,

indazolyl, 2,1,3-benzothiadiazolyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, dihydro-benzimidazolyl, benzoxazolyl and benzthiazolyl, where R^1 is unsubstituted or substituted with one or more substituents selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, methylpiperazinylmethyl, methylpiperazinylpropyl, morpholinylpropyl, methylpiperidinylmethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylethyl, piperidinylmethyl, piperidinylpropyl, pyrrolidinylpropyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, 3-ethoxycarbonyl-2-methyl-fur-5-yl, methylpiperazinyl, methylpiperidyl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-chlorophenoxy, phenyloxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy and ethoxy; wherein R^2 is selected from H, chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl, propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl, cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl, methoxy, ethoxy, trifluoromethoxy, carboxymethyl, morpholinylethylamino, propynyl, unsubstituted or

substituted phenyl and unsubstituted or substituted heteroaryl selected from thienyl,

furanyl, pyridyl, imidazolyl, and pyrazolyl;

wherein R^4 is selected from a direct bond, ethyl, butyl, and



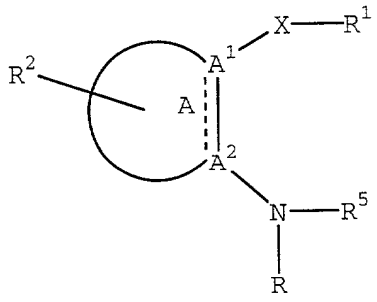
; and

wherein R^6 is H or methyl;

and pharmaceutically acceptable derivatives thereof.

35. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a compound as in any of Claims 1-34.

36. A method of treating cancer in a subject, said method comprising administering an effective amount of a compound of formula I



I

wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

a) 5- or 6-membered partially saturated heterocyclyl,

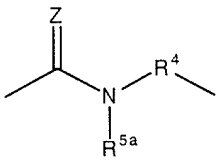
b) 5- or 6-membered heteroaryl,

c) 9-, 10- or 11-membered fused partially saturated heterocyclyl,

d) 9- or 10-membered fused heteroaryl,

e) aryl, and

f) 4-, 5- or 6-membered cycloalkenyl;

wherein X is  ;

wherein Z is oxygen or sulfur;

wherein R is selected from

a) substituted or unsubstituted 4-6 membered heterocyclyl,

b) substituted aryl, and

c) substituted or unsubstituted fused 9-14-membered bicyclic or tricyclic heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, alkylaminoalkoxyalkoxy, cyano, alkylaminoalkoxy, lower alkyl substituted with R^2, lower alkenyl substituted with R^2, and lower alkynyl substituted with R^2;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 4-6 membered heterocyclyl,

c) substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl,

optionally substituted phenyl, halosulfonyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R^2, lower alkenyl substituted with R^2, and lower alkynyl substituted with R^2;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C_3-C_6-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 4-6 membered heterocyclylalkyl, optionally substituted C_3-C_6 cycloalkylalkyl, and lower haloalkyl;

wherein R^4 is selected from a direct bond, C_{2-4}-alkylenyl, C_{2-4}-alkenylenyl and C_{2-4}-alkynylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-, wherein R^4 is optionally substituted with hydroxy;

wherein R^5 is selected from H, lower alkyl, optionally substituted phenyl and optionally substituted lower aralkyl;

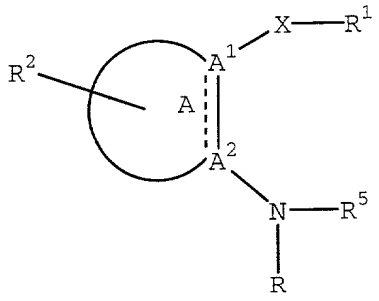
wherein R^{14} is selected from H, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl and optionally substituted C_3-C_6 cycloalkyl;

and pharmaceutically acceptable derivatives thereof;

provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl.

37. The method of Claim 36 comprising a combination with a compound selected from antibiotic-type agents, alkylating agents, antimetabolite agents, hormonal agents, immunological agents, interferon-type agents and miscellaneous agents.

38. A method of treating angiogenesis in a subject, said method comprising administering an effective amount of a compound as in any of Formula I



I

wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

a) 5- or 6-membered partially saturated heterocyclyl,

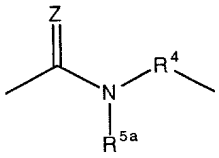
b) 5- or 6-membered heteroaryl,

c) 9-, 10- or 11-membered fused partially saturated heterocyclyl,

d) 9- or 10-membered fused heteroaryl,

e) aryl, and

f) 4-, 5- or 6-membered cycloalkenyl;

wherein X is  ;

wherein Z is oxygen or sulfur;

wherein R is selected from

a) substituted or unsubstituted 4-6 membered heterocyclyl,

b) substituted aryl, and

c) substituted or unsubstituted fused 9-14-membered bicyclic or tricyclic heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, alkylaminoalkoxyalkoxy, cyano, alkylaminoalkoxy, lower alkyl substituted with R^2, lower alkenyl substituted with R^2, and lower alkynyl substituted with R^2;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 4-6 membered heterocyclyl,

c) substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, halosulfonyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R^2, lower alkenyl substituted with R^2, and lower alkynyl substituted with R^2;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C_3-C_6-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 4-6 membered heterocyclylalkyl, optionally substituted C_3-C_6 cycloalkylalkyl, and lower haloalkyl;

wherein R^4 is selected from a direct bond, C_{2-4}-alkylenyl, C_{2-4}-alkenylenyl and C_{2-4}-alkynylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-, wherein R^4 is optionally substituted with hydroxy;

wherein R^5 is selected from H, lower alkyl, optionally substituted phenyl and optionally substituted lower aralkyl;

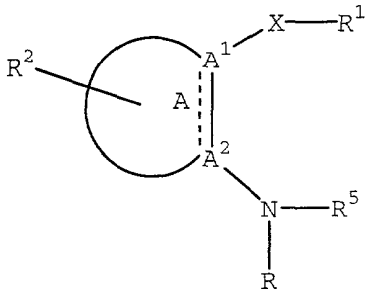
wherein R^{14} is selected from H, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl and optionally substituted C_3-C_6 cycloalkyl;

and pharmaceutically acceptable derivatives thereof;

provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl.

39. A compound as in any of Claims 1-34 for use in a method of therapeutic treatment for the human or animal body.

40. A method of treating KDR-related disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I



I

wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

a) 5- or 6-membered partially saturated heterocyclyl,

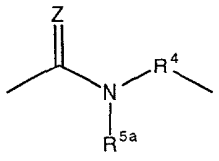
b) 5- or 6-membered heteroaryl,

c) 9-, 10- or 11-membered fused partially saturated heterocyclyl,

d) 9- or 10-membered fused heteroaryl,

e) aryl, and

f) 4-, 5- or 6-membered cycloalkenyl;

wherein X is  ;

wherein Z is oxygen or sulfur;

wherein R is selected from

a) substituted or unsubstituted 4-6 membered heterocyclyl,

b) substituted aryl, and

c) substituted or unsubstituted fused 9-14-membered bicyclic or tricyclic heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$,

$-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, alkylaminoalkoxyalkoxy, cyano, alkylaminoalkoxy, lower alkyl substituted with R^2, lower alkenyl substituted with R^2, and lower alkynyl substituted with R^2;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 4-6 membered heterocyclyl,

c) substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, halosulfonyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R^2, lower alkenyl substituted with R^2, and lower alkynyl substituted with R^2;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower

carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 optionally substituted membered heterocyclyl, optionally substituted C_3-C_6-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 4-6 membered heterocyclylalkyl, optionally substituted C_3-C_6 cycloalkylalkyl, and lower haloalkyl;

wherein R^4 is selected from a direct bond, C_{2-4}-alkylenyl, C_{2-4}-alkenylenyl and C_{2-4}-alkynylenyl, where one of the CH_2 groups may be replaced with an oxygen atom or an -NH-, wherein R^4 is optionally substituted with hydroxy;

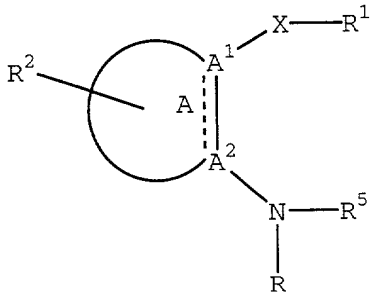
wherein R^5 is selected from H, lower alkyl, optionally substituted phenyl and optionally substituted lower aralkyl;

wherein R^{14} is selected from H, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl and optionally substituted C_3-C_6 cycloalkyl;

and pharmaceutically acceptable derivatives thereof;

provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl.

41. A method of treating proliferation-related disorders in a mammal, said method comprising administering an effective amount of a compound of Formula I



I

wherein each of A^1 and A^2 is independently C or N;

wherein ring A is selected from

a) 5- or 6-membered partially saturated heterocyclyl,

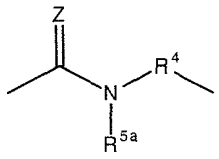
b) 5- or 6-membered heteroaryl,

c) 9-, 10- or 11-membered fused partially saturated heterocyclyl,

d) 9- or 10-membered fused heteroaryl,

e) aryl, and

f) 4-, 5- or 6-membered cycloalkenyl;

wherein X is  ;

wherein Z is oxygen or sulfur;

wherein R is selected from

a) substituted or unsubstituted 4-6 membered heterocyclyl,

b) substituted aryl, and

c) substituted or unsubstituted fused 9-14-membered bicyclic or tricyclic heterocyclyl;

wherein substituted R is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-SO_2R^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, nitro, alkylaminoalkoxyalkoxy, cyano, alkylaminoalkoxy, lower alkyl substituted with R^2, lower alkenyl substituted with R^2, and lower alkynyl substituted with R^2;

wherein R^1 is selected from

a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 4-6 membered heterocyclyl,

c) substituted or unsubstituted 9-14 membered bicyclic or tricyclic heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

wherein substituted R^1 is substituted with one or more substituents independently selected from halo, $-OR^3$, $-SR^3$, $-CO_2R^3$, $-CONR^3R^3$, $-COR^3$, $-NR^3R^3$, $-NH(C_1-C_4$ alkylenyl$R^{14})$, $-SO_2R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, optionally substituted cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, halosulfonyl, cyano, alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R^2, lower alkenyl substituted with R^2, and lower alkynyl substituted with R^2;

wherein R^2 is one or more substituents independently selected from H, halo, $-OR^3$, oxo, $-SR^3$, $-CO_2R^3$, $-COR^3$, $-CONR^3R^3$, $-NR^3R^3$, $-SO_2NR^3R^3$, $-NR^3C(O)OR^3$, $-NR^3C(O)R^3$, cycloalkyl, optionally substituted phenylalkylenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted heteroarylalkylenyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

wherein R^3 is independently selected from H, lower alkyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted C_3-C_6-cycloalkyl, optionally substituted phenylalkyl, optionally substituted 4-6 membered heterocyclylalkyl, optionally substituted C_3-C_6 cycloalkylalkyl, and lower haloalkyl;

wherein R^4 is selected from a direct bond, C_{2-4}-alkylenyl, C_{2-4}-alkenylenyl and C_{2-4}-alkynylenyl, where one of the CH_2

groups may be replaced with an oxygen atom or an -NH-, wherein R^4 is optionally substituted with hydroxy;

wherein R^5 is selected from H, lower alkyl, optionally substituted phenyl and optionally substituted lower aralkyl;

wherein R^{14} is selected from H, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl and optionally substituted C_3-C_6 cycloalkyl;

and pharmaceutically acceptable derivatives thereof;

provided R is not unsubstituted 2-thienyl, 2-pyridyl or 3-pyridyl.

42. Method of Claim 41 wherein the disorder is inflammation or an inflammation-related disorder.

43. Compound of Claim 1 and pharmaceutically acceptable salts thereof selected from

2-(1H-Indazol-6-ylamino)-N-[3-(3-morpholin-4-yl-propyl)-5-trifluoromethyl-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(3-piperidin-1-yl-propyl)-5-trifluoromethyl-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(1-methyl-piperidin-4-ylmethyl)-5-trifluoromethyl-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(1-methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(piperidin-4-yloxy)-5-trifluoromethyl-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

N-(3,3-Dimethyl-1,1-dioxo-2,3-dihydro-1H-1l6-benzo[d]isothiazol-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-(5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-naphthalen-2-yl)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(1-methyl-piperidin-4-ylmethoxy)-4-pentafluoroethyl-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(1-isopropyl-piperidin-4-ylmethoxy)-4-pentafluoroethyl-phenyl]-nicotinamide;

N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[4-pentafluoroethyl-3-(2-piperidin-1-yl-ethoxy)-phenyl]-nicotinamide;

N-[3-(2-Hydroxy-3-pyrrolidin-1-yl-propoxy)-4-pentafluoroethyl-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[4-pentafluoroethyl-3-(pyrrolidin-2-ylmethoxy)-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[4-pentafluoroethyl-3-(pyrrolidin-2-ylmethoxy)-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(pyrrolidin-2-ylmethoxy)-4-trifluoromethyl-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(2-pyrrolidin-1-yl-ethoxy)-4-trifluoromethyl-phenyl]-nicotinamide;

N-(1-Acetyl-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-{4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-nicotinamide;

N-(4-Acetyl-2,2-dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(1-methyl-piperidin-4-yl)-5-trifluoromethyl-phenyl]-nicotinamide;

N-(3-Bromo-5-trifluoromethyl-phenyl)-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-(2,2,4-trimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-nicotinamide;

N-[4-tert-Butyl-3-(pyrrolidin-2-ylmethoxy)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

N-(7-Acetyl-5,5-dimethyl-5,6,7,8-tetrahydro-naphthalen-2-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;

1-Boc-2-(2-tert-Butyl-5-{[2-(1H-indazol-6-ylamino)-pyridine-3-carbonyl]-amino}-phenoxymethyl)-pyrrolidine;

N-[4-tert-Butyl-3-(piperidin-4-ylmethoxy)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

N-(4-tert-Butyl-3-piperazin-1-yl-phenyl)-2-(1H-indazol-6-ylamino)-nicotinamide;

N-(3,3-dimethyl-2,3-dihydro-1H-indol-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;

N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;

N-(2,2-Dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;

N-[4-tert-Butyl-3-(4-propyl-piperazin-1-yl)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

N-[4-tert-Butyl-3-(4-isopropyl-piperazin-1-yl)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(1-methylpyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

N-(4,4-Dimethyl-1-oxo-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;

N-(3,3-Dimethyl-2,3-dihydro-benzofuran-6-yl)-2-(1H-indazol-6-ylamino)-nicotinamide;

N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(4-methyl-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(4-Boc-piperazin-1-ylmethyl)-4-pentafluoroethyl-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-(3-morpholin-4-ylmethyl-4-pentafluoroethyl-phenyl)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-(4-pentafluoroethyl-3-piperazin-1-ylmethyl-phenyl)-nicotinamide;

N-[4-tert-Butyl-3-(4-Boc-piperazin-1-yl)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

N-(4-tert-Butyl-3-nitro-phenyl)-2-(1H-indazol-6-ylamino)-nicotinamide;

N-(3-Amino-4-tert-butyl-phenyl)-2-(1H-indazol-6-ylamino)-nicotinamide;

N-[4-tert-Butyl-3-(2-hydroxy-ethylamino)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

N-[4-tert-Butyl-3-(2-morpholin-4-yl-ethylamino)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

N-[4-tert-Butyl-3-(1-Boc-piperidin-4-ylamino)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[2-(2-morpholin-4-yl-ethyl)-1,2,3,4-tetrahydro-isoquinolin-7-yl]-nicotinamide;

N-[4-tert-Butyl-2-(4-methyl-piperazin-1-yl)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-(2-oxo-4-trifluoromethyl-2H-chromen-7-yl)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-[3-(1-methyl-1,2,3,6-tetrahydro-pyridin-4-yl)-5-trifluoromethyl-phenyl]-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-(1H-indol-7-yl)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-(4-pentafluoroethyl-phenyl)-nicotinamide;

N-[4-tert-Butyl-3-(piperidin-4-ylamino)-phenyl]-2-(1H-indazol-6-ylamino)-nicotinamide;

2-(1H-Indazol-6-ylamino)-N-(3-piperazin-1-ylmethyl-5-trifluoromethyl-phenyl)-nicotinamide; and

N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-(1H-indazol-6-ylamino)-nicotinamide.